



<110> MILLHAUSER, GLENN
THOMPSON, DARREN
BOLIN, KIMBERLEY
ANDERSON, JOE
MCNULTY, JOSEPH

<120> METHODS AND COMPOUNDS FOR MODULATING MELANOCORTIN RECEPTOR LIGAND
BINDING AND ACTIVITY

<130> 407t-980910US

<150> PCT/US99/25201

<151> 1999-10-27

<150> 60/203,271

<151> 2000-05-09

<150> 60/226,047

<151> 2000-08-16

<160> 54

<170> PatentIn version 3.0

<210> 1

<211> 132

<212> PRT

<213> Homo sapiens

<400> 1

Met Leu Thr Ala Ala Val Leu Ser Cys Ala Leu Leu Leu Ala Leu Pro
1 5 10 15

Ala Thr Arg Gly Ala Gln Met Gly Leu Ala Pro Met Glu Gly Ile Arg
20 25 30

Arg Pro Asp Gln Ala Leu Leu Pro Glu Leu Pro Gly Leu Gly Leu Arg
35 40 45

Ala Pro Leu Lys Lys Thr Thr Ala Glu Gln Ala Glu Glu Asp Leu Leu
50 55 60

Gln Glu Ala Gln Ala Leu Ala Glu Val Leu Asp Leu Gln Asp Arg Glu
65 70 75 80

Pro Arg Ser Ser Arg Arg Cys Val Arg Leu His Glu Ser Cys Leu Gly
85 90 95

Gln Gln Val Pro Cys Cys Asp Pro Cys Ala Thr Cys Tyr Cys Arg Phe
100 105 110

Phe Asn Ala Phe Cys Tyr Cys Arg Lys Leu Gly Thr Ala Met Asn Pro
115 120 125

Cys Ser Arg Thr
130

<210> 2
<211> 46
<212> PRT
<213> Homo sapiens

<400> 2

Cys Val Arg Leu His Glu Ser Cys Leu Gly Gln Gln Val Pro Cys Cys
1 5 10 15

Asp Pro Cys Ala Thr Cys Tyr Cys Arg Phe Phe Asn Ala Phe Cys Tyr
20 25 30

Cys Arg Lys Leu Gly Thr Ala Met Asn Pro Cys Ser Arg Thr
35 40 45

<210> 3
<211> 33
<212> PRT
<213> Homo sapiens

<400> 3

Cys Val Arg Leu His Glu Ser Cys Leu Gly Gln Gln Val Pro Cys Cys
1 5 10 15

Asp Pro Ala Ala Thr Cys Tyr Cys Arg Phe Phe Asn Ala Phe Cys Tyr
20 25 30

Cys

<210> 4
<211> 34
<212> PRT
<213> Artificial

<220>
<223> synthetic mini-AGRP

<400> 4

Cys Val Arg Leu His Glu Ser Cys Leu Gly Gln Gln Val Pro Cys Cys
1 5 10 15

Asp Pro Ala Ala Thr Cys Tyr Cys Arg Phe Phe Asn Ala Phe Cys Tyr
20 25 30

Cys Arg

<210> 5

<211> 34
<212> PRT
<213> Artificial

<220>
<223> synthetic AGRP fragment

<220>
<221> MOD_RES
<222> (1)..(1)
<223> C blocked with acetyl

<220>
<221> MOD_RES
<222> (34)..(34)
<223> R blocked with amino

<400> 5

Cys	Val	Arg	Leu	His	Glu	Ser	Cys	Leu	Gly	Gln	Gln	Val	Pro	Cys	Cys
1				5					10					15	

Asp	Pro	Ala	Ala	Thr	Cys	Tyr	Cys	Arg	Phe	Phe	Asn	Ala	Phe	Cys	Tyr
			20					25					30		

Cys Arg

<210> 6
<211> 6
<212> PRT
<213> artificial

<220>
<223> AGRP fragment

<400> 6

Val	Arg	Leu	His	Glu	Ser
1				5	

<210> 7
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<400> 7

Leu	Gly	Gln	Gln	Val	Pro
1				5	

<210> 8
<211> 3
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<400> 8

Arg Phe Phe
1

<210> 9
<211> 34
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<220>
<221> misc_feature
<222> (34)..(34)
<223> R is optional

<400> 9

Cys	Xaa	Xaa	Xaa	Xaa	Xaa	Xaa	Cys	Xaa	Xaa	Xaa	Xaa	Xaa	Cys	Cys
1				5				10					15	

Asp	Pro	Xaa	Ala	Thr	Cys	Tyr	Cys	Xaa	Xaa	Xaa	Asn	Ala	Phe	Cys	Tyr
			20					25					30		

Cys Arg

<210> 10
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 10

Xaa Xaa Xaa Xaa Xaa Xaa
1 5

<210> 11

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 11

Val Xaa Xaa Xaa Xaa Xaa
1 5

<210> 12

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 12

Xaa Arg Xaa Xaa Xaa Xaa
1 5

<210> 13

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 13

Xaa Xaa Leu Xaa Xaa Xaa
1 5

<210> 14
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 14

Xaa Xaa Xaa His Xaa Xaa
1 5

<210> 15
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 15

Xaa Xaa Xaa Xaa Xaa Ser
1 5

<210> 16
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 16

Val Arg Xaa Xaa Xaa Xaa
1 5

<210> 17
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 17

Val Xaa Leu Xaa Xaa Xaa
1 5

<210> 18
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 18

Val Xaa Xaa His Xaa Xaa
1 5

<210> 19
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 19

Val Xaa Xaa Xaa Glu Xaa
1 5

<210> 20

<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 20

Val Xaa Xaa Xaa Xaa Ser
1 5

<210> 21
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 21

Xaa Arg Leu Xaa Xaa Xaa
1 5

<210> 22
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 22

Xaa Arg Xaa His Xaa Xaa
1 5

<210> 23
<211> 6
<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 23

Xaa Arg Xaa Xaa Glu Xaa
1 5

<210> 24

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 24

Xaa Arg Xaa Xaa Xaa Ser
1 5

<210> 25

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 25

Xaa Xaa Leu His Xaa Xaa
1 5

<210> 26

<211> 6

<212> PRT

<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 26

Xaa Xaa Leu Xaa Xaa Xaa
1 5

<210> 27
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 27

Xaa Xaa Leu Xaa Glu Xaa
1 5

<210> 28
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 28

Xaa Xaa Leu Xaa Xaa Ser
1 5

<210> 29
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 29

Xaa Xaa Xaa His Glu Xaa
1 5

<210> 30
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 30

Xaa Xaa Xaa His Xaa Ser
1 5

<210> 31
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 31

Xaa Xaa Xaa Xaa Glu Ser
1 5

<210> 32
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>

<221> misc_feature
<223> Xaa is any amino acid

<400> 32

Val Arg Leu Xaa Xaa Xaa
1 5

<210> 33
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 33

Val Xaa Leu His Xaa Xaa
1 5

<210> 34
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 34

Val Arg Leu His Glu Ser
1 5

<210> 35
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 35

Xaa Xaa Xaa Xaa Xaa Xaa
1 5

<210> 36
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 36

Leu Gly Gln Gln Val Pro
1 5

<210> 37
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 37

Leu Xaa Xaa Xaa Xaa Xaa
1 5

<210> 38
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 38

Xaa Gly Xaa Xaa Xaa Xaa
1 5

<210> 39

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 39

Xaa Xaa Gln Xaa Xaa Xaa
1 5

<210> 40

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 40

Xaa Xaa Xaa Gln Xaa Xaa
1 5

<210> 41

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 41

Xaa Xaa Xaa Xaa Val Xaa
1 5

<210> 42
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 42

Xaa Xaa Xaa Xaa Xaa Pro
1 5

<210> 43
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 43

Leu Gly Xaa Xaa Xaa Xaa
1 5

<210> 44
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 44

Leu Xaa Gln Xaa Xaa Xaa
1 5

<210> 45
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 45

Leu Xaa Xaa Gln Xaa Xaa
1 5

<210> 46
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 46

Leu Xaa Xaa Xaa Val Xaa
1 5

<210> 47
<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 47

Leu Xaa Xaa Xaa Xaa Pro
1 5

<210> 48

<211> 6
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 48

Leu Gly Gln Xaa Xaa Xaa
1 5

<210> 49
<211> 3
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 49

Arg Xaa Xaa
1

<210> 50
<211> 3
<212> PRT
<213> Artificial

<220>
<223> AGRP fragment

<220>
<221> misc_feature
<223> Xaa is any amino acid

<400> 50

Xaa Phe Xaa
1

<210> 51
<211> 3
<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 51

Arg Phe Xaa

1

<210> 52

<211> 3

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 52

Arg Xaa Phe

1

<210> 53

<211> 3

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 53

Xaa Phe Phe

1

<210> 54

<211> 6

<212> PRT

<213> Artificial

<220>

<223> AGRP fragment

<220>

<221> misc_feature

<223> Xaa is any amino acid

<400> 54

Arg Phe Phe Asn Ala Phe

1

5